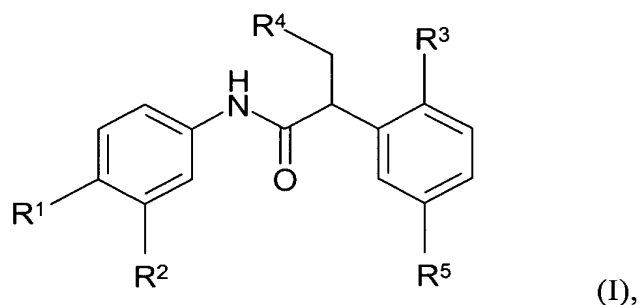


What is claimed is:

- 5 1. A compound of the formula I



wherein:

10

R^1 denotes a C_{3-7} -cycloalkyl-carbonyl group, while

the methylene group in the 3 or 4 position in a C_{5-7} -cycloalkyl-carbonyl group may be replaced by a -NH group, wherein

15

the hydrogen atom of the -NH group may be replaced by a C_{1-3} -alkyl or C_{1-3} -alkylcarbonyl group,

a C_{1-6} -alkylcarbonyl group, optionally terminally substituted in the alkyl moiety by an amino, C_{1-3} -alkylamino or di- $(C_{1-3}$ -alkyl)-amino group,

20

a group of formula $R_f R_g N-(CH_2)_m-(R_h)N-CO$, wherein

R_f , R_g and R_h independently of one another each denote a hydrogen atom or a C_{1-3} -alkyl group and

25

m denotes one of the numbers 2, 3 or 4,

a phenylcarbonyl, naphthylcarbonyl or heteroarylcarbonyl group,

5 while the phenyl, naphthyl or heteroaryl moiety may be substituted by a fluorine, chlorine or bromine atom, by a trifluoromethyl, C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkyl-amino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl or C₁₋₃-alkoxy group,

a C₁₋₃-alkyl group substituted by a phenyl or heteroaryl group,

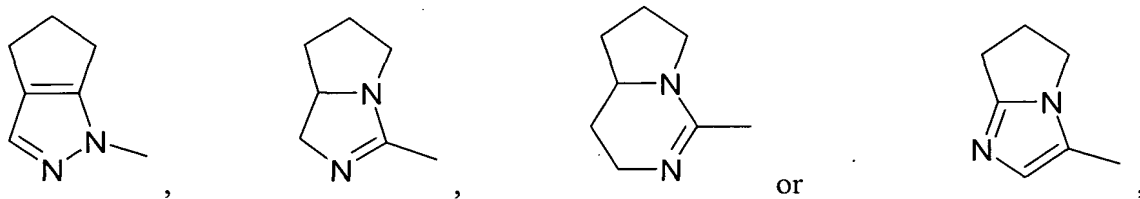
10 while the phenyl or heteroaryl substituent may be substituted by a fluorine, chlorine or bromine atom, by a trifluoromethyl, C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkyl-amino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl or C₁₋₃-alkoxy group,

a 2,5-dihydro-1*H*-pyrrol-1-ylcarbonyl group,

15 a 4- to 7-membered cycloalkyleneimino-carbonyl or cycloalkyleneimino-sulphonyl group optionally substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl, aminocarbonyl, C₁₋₃-alkylamino-carbonyl or di-(C₁₋₃-alkyl)-aminocarbonyl group or

20

a group of formula



25 wherein in the heterocyclic moiety a hydrogen atom may be replaced by an aminomethyl or aminocarbonyl group in each case,

R² denotes a fluorine, chlorine or bromine atom, a C₂₋₃-alkenyl group or

a C₁₋₃-alkoxy or C₁₋₃-alkyl group wherein the hydrogen atoms may be wholly or partially replaced by fluorine atoms,

5 R³ denotes a hydroxy or amino group,

R⁴ denotes a phenyl or heteroaryl group which is optionally substituted by a hydroxy, C₁₋₄-alkyloxy, benzyloxy, hydroxycarbonyl-C₁₋₃-alkoxy, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyloxy, aminocarbonyl-C₁₋₃-alkyloxy, C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkyloxy, di-(C₁₋₃-alkyl)-aminocarbonyl-C₁₋₃-alkyloxy, carboxy, C₁₋₃-alkyloxy-carbonyl group,

a 1-H-pyridonyl or 1-(C₁₋₃-alkyl)-pyridonyl group,

a 4- to 7-membered cycloalkyleneimino group or

15

a 4- to 7-membered cycloalkyl group wherein one or two methylene groups are replaced by a -NH or -N(C₁₋₃-alkyl)- group and wherein one or two of the methylene groups adjacent to the -NH or -N(C₁₋₃-alkyl)- group may each be replaced by a carbonyl group, with the proviso that a cycloalkyl group as hereinbefore defined wherein two -NH or -N(C₁₋₃-alkyl)- groups are separated from one another by precisely one -CH₂- group is excluded, and

20

R⁵ denotes a group of formula-CH₂-NHR⁶, wherein

25

R⁶ denotes a hydrogen atom, a C₁₋₁₀-alkoxy-carbonyl, 2,2,2-trichloroethoxy-carbonyl, phenyloxycarbonyl or benzyloxycarbonyl group,

or a group of formula-C(=NH)-NH₂ wherein a hydrogen atom may be replaced by a C₁₋₁₀-alkoxy-carbonyl, 2,2,2-trichloroethoxycarbonyl, phenyloxycarbonyl, benzyloxy-carbonyl, phenylcarbonyl, hydroxy, C₁₋₅-alkyloxy, benzyloxy or phenyloxy group,

30

while, unless otherwise stated, the term heteroaryl group denotes a monocyclic 5- or 6-membered heteroaryl group optionally substituted in the carbon skeleton by a C₁₋₃-alkyl, carboxy, C₁₋₃-alkoxy-carbonyl or C₁₋₃-alkoxy-carbonylamino group, while

5 the 6-membered heteroaryl group contains one, two or three nitrogen atoms and

the 5-membered heteroaryl group contains an imino group optionally substituted by a C₁₋₃-alkyl or phenyl-C₁₋₃-alkyl group, an oxygen or sulphur atom or

10 an imino group optionally substituted by a C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl or phenyl-C₁₋₃-alkyl group or an oxygen or sulphur atom and additionally contains a nitrogen atom or

15 an imino group optionally substituted by a C₁₋₃-alkyl or phenyl-C₁₋₃-alkyl group or an oxygen or sulphur atom and additionally contains two nitrogen atoms,

an imino group optionally substituted by a C₁₋₃-alkyl or phenyl-C₁₋₃-alkyl group and contains three nitrogen atoms,

20 and moreover a phenyl ring may be fused to the abovementioned monocyclic heterocyclic groups via two adjacent carbon atoms and the binding takes place via a nitrogen atom or via a carbon atom of the heterocyclic moiety or a fused-on phenyl ring,

25 while the abovementioned alkyl and alkoxy groups include straight-chain and branched alkyl and alkoxy groups, wherein additionally one to 3 hydrogen atoms may be replaced by fluorine atoms,

or a tautomer or pharmaceutically acceptable salt thereof.

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2. A compound of the formula I according to claim 1, wherein:

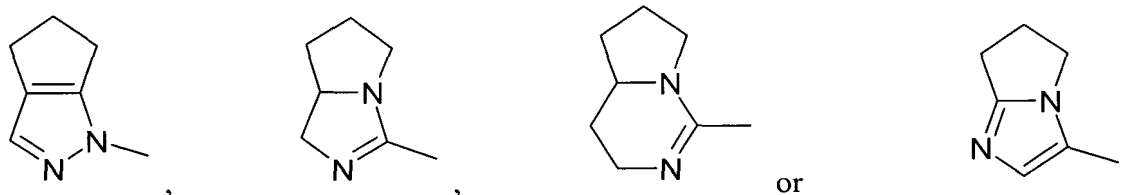
R^2 , R^3 , R^4 and R^5 are defined as in claim 1 and

R^1 denotes a 2,5-dihydro-1*H*-pyrrol-1-ylcarbonyl group,

5

a 4- to 7-membered cycloalkyleneimino-carbonyl group optionally substituted by an amino- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl, di-(C_{1-3} -alkyl)-amino- C_{1-3} -alkyl, aminocarbonyl, C_{1-3} -alkylamino-carbonyl or di-(C_{1-3} -alkyl)-aminocarbonyl group or

10 a group of formula



15

wherein in the heterocyclic moiety a hydrogen atom may be replaced by an aminomethyl or aminocarbonyl group in each case,

the abovementioned alkyl and alkoxy groups including straight-chain and branched alkyl and alkoxy groups, wherein additionally one to 3 hydrogen atoms may be replaced by fluorine atoms,

20

or a tautomer or pharmaceutically acceptable salt thereof.

3. A compound of the formula I in accordance with claim 2, wherein:

25

R^1 , R^2 , R^3 and R^5 are defined as in claim 2 and

R^4 denotes a phenyl, furanyl, thienyl, pyrrolyl, pyrazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, thiazolyl or isoxazolyl group which is optionally substituted by

a hydroxy, C₁₋₄-alkyloxy, benzyloxy, hydroxycarbonyl-C₁₋₃-alkoxy, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyloxy, aminocarbonyl-C₁₋₃-alkyloxy, C₁₋₃-alkylaminocarbonyl-C₁₋₃-alkyloxy, di-(C₁₋₃-alkyl)-aminocarbonyl-C₁₋₃-alkyloxy, carboxy, C₁₋₃-alkyloxy-carbonyl group,

5

the abovementioned alkyl and alkoxy groups including straight-chain and branched alkyl and alkoxy groups, wherein additionally one to 3 hydrogen atoms may be replaced by fluorine atoms,

10 or a tautomer or pharmaceutically acceptable salt thereof.

4. A compound selected from the group consisting of:

(a) 2-(5-amidino-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)-
15 phenyl]-3-phenyl-propionamide,

(b) 2-(5-amidino-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)-phenyl]-3-(pyridin-3-yl)-propionamide, and

20 (c) 2-(5-aminomethyl-2-hydroxy-phenyl)-N-[3-trifluoromethyl-4-(pyrrolidin-1-yl-carbonyl)-phenyl]-3-phenyl-propionamide,

or an analog of compound (a), (b) or (c) wherein the amidino group is substituted by a hydroxy, C₁₋₅-alkyloxy, C₁₋₁₀-alkoxy-carbonyl or phenylcarbonyl group,

25

or a pharmaceutically acceptable salt thereof.

5. A pharmaceutical composition comprising a compound in accordance with claim 1, 2, 3 or 4 and one or more inert carriers and/or diluents.

6. A method for treating or inhibiting thrombus formation which comprises administering
5 an antithrombotic amount of a compound in accordance with claim 1, 2, 3, or 4.